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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG	06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG	06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG	13	CA/CAplus enhanced with additional kind codes for granted
				patents
NEWS	5	AUG		CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG	27	Full-text patent databases enhanced with predefined
				patent family display formats from INPADOCDB
NEWS	7	AUG		USPATOLD now available on STN
NEWS	8	AUG	28	CAS REGISTRY enhanced with additional experimental
	_			spectral property data
NEWS	9	SEP	07	STN AnaVist, Version 2.0, now available with Derwent
				World Patents Index
NEWS		SEP		FORIS renamed to SOFIS
NEWS		SEP		INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP	17	CA/CAplus enhanced with printed CA page images from
NITHIO	1 2	O II D	1 7	1967–1998
NEWS	13	SEP	1 /	CAplus coverage extended to include traditional medicine patents
NEWS	14	SEP	24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT	02	CA/CAplus enhanced with pre-1907 records from Chemisches
				Zentralblatt
NEWS	16	OCT	19	BEILSTEIN updated with new compounds
NEWS	17	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV	19	WPIX enhanced with XML display format
NEWS		NOV		ICSD reloaded with enhancements
NEWS		DEC		LINPADOCDB now available on STN
NEWS		DEC		BEILSTEIN pricing structure to change
NEWS		DEC		USPATOLD added to additional database clusters
NEWS		DEC		IMSDRUGCONF removed from database clusters and STN
NEWS		DEC		DGENE now includes more than 10 million sequences
NEWS	25	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in
•				MEDLINE segment
NEWS		DEC		MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS		DEC		CA/CAplus enhanced with new custom IPC display formats
NEWS	28	DEC	17	STN Viewer enhanced with full-text patent content

from USPATOLD

NEWS 29 JAN 02 STN pricing information for 2008 now available

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 15:58:22 ON 12 JAN 2008

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:58:36 ON 12 JAN 2008
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STRUCTURE FILE UPDATES: 11 JAN 2008 HIGHEST RN 960491-85-4 DICTIONARY FILE UPDATES: 11 JAN 2008 HIGHEST RN 960491-85-4

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

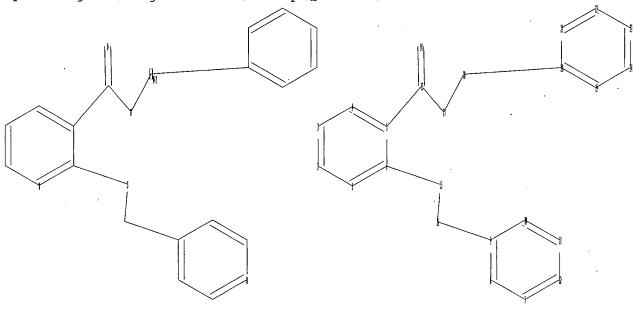
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10587410.str



```
chain nodes :
13 14 15 16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
                                  19 20 21
chain bonds :
5-13 6-15 9-16 13-14 13-17 15-16 17-18
                                        18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 19-20
19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
6-15 13-14 13-17
                 15-16 17-18
exact bonds :
5-13 9-16 18-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 19-20
19-24 20-21 21-22 22-23 23-24
isolated ring systems :
containing 1 : 7 : 19 :
```

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom

L1 STRUCTURE UPLOADED

=> s 11

=>

SAMPLE SEARCH INITIATED 15:58:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 48 TO ITERATE

100.0% PROCESSED 48 ITERATIONS 22 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 545 TO 1375

PROJECTED ANSWERS: 159 TO 721

L2 22 SEA SSS SAM L1

=> s 11 ful FULL SEARCH INITIATED 15:59:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 887 TO ITERATE

100.0% PROCESSED 887 ITERATIONS 467 ANSWERS

SEARCH TIME: 00.00.01

L3 467 SEA SSS FUL L1

Uploading C:\Program Files\Stnexp\Queries\105874101.str

```
chain nodes :
13 14 15 16 17 18 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 19 20 21 22 23 24
chain bonds :
5-13 6-15 9-16 13-14 13-17 15-16 17-18 17-28 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 19-20
19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
6-15 13-14 13-17
exact bonds :
5-13 9-16 15-16 17-18 17-28 18-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 19-20
19-24 20-21 21-22 22-23 23-24
isolated ring systems:
containing 1 : 7 : 19 :
```

## Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 28:CLASS

L4 STRUCTURE UPLOADED

=> s 14

SAMPLE SEARCH INITIATED 16:01:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS 22 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 529 TO 1351

PROJECTED ANSWERS: 159 TO 721

L5 22 SEA SSS SAM L4

=> s 14 ful

FULL SEARCH INITIATED 16:01:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 881 TO ITERATE

100.0% PROCESSED 881 ITERATIONS 457 ANSWERS

SEARCH TIME: 00.00.01

L6 457 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 358.10 358.31

FILE 'CAPLUS' ENTERED AT 16:01:41 ON 12 JAN 2008
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=> s 16

L7 2 L6

=> s 13

L8 3 L3

=> dup rem 17 18

PROCESSING COMPLETED FOR L7 PROCESSING COMPLETED FOR L8

L9 3 DUP REM L7 L8 (2 DUPLICATES REMOVED)

=> d 19 ibib abs hitstr hitind 1-3

L9 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1

ACCESSION NUMBER:

2005:1004711 CAPLUS

DOCUMENT NUMBER:

143:286294

TITLE:

Preparation of (pyridin-4-ylalkylthio)pyridine derivatives for treatment of diseases in which

angiogenesis participates

INVENTOR(S):

Honda, Takahiro; Tajima, Hisashi; Kawashima, Kenji;

Okamoto, Kazuyoshi; Yamamoto, Minoru; Inaba,

Takaaki;

Takeno, Yuriko

PATENT ASSIGNEE(S):

Santen Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 322 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

	PA	CENT 1	O.			KIND DATE				i	APPL:	ICAT:	DATE					
	WO	2005	01		A1 20050915			,	WO 2	005-		20050217						
		W: AE, AG, AL,			AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,
		LK, LR, LS NO, NZ, OM		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
				OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
	•		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	
ZM,	ZW				,													
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
			MR,	NE,	SN,	TD,	ΤG											
	ΑU	2005	2196	39		Α1		2005	0915	Ž	AU 20	005-2		20050217				
	CA	2555			A1		2005	0915	(	CA 20	005-2		20050217					
	JP	2006	0967	39		Α		2006	0413	ı	JP 20	005-		20050217				

ΕP	1717	<b>A</b> 1		2006	1102	EP	20	05-	71062		2005021						
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R,	IT,	LI,	LU,	NL,	SE	, MC,	PT,
		ΙE,	SI,	LT,	FI,	RO,	CY,	TR,	BG, C	Z,	EE,	HU,	PL,	SK,	IS		
CN	1918	127			Α	:	2007	0221	CN	20	05-	8000	5051			20050	217
BR	2005	0077	57		Α		2007	0710	BR	20	05-	7757				20050	217
US	2007	1495	74		<b>A</b> 1	:	2007	0628	US	20	06-	5874	10			20060	727
MX	2006	PA09	290		À		2006	1009	MX	20	06-	PA92	90			20060	816
PRIORITY	Y APP	LN.	INFO	.:					JP	20	04-	39862	2		A	20040	217
									JP	20	04-	2943	47		A	20040	906
					•				WO	20	05-	JP29	71	1	W	20050	217

OTHER SOURCE(S):

MARPAT 143:286294

GΙ

ΙI

AB The title compds. I [wherein ring A = benzene, heterocycle, etc.; R1 and

R2 = independently H, OH, alkoxy, etc.; R3 and R4 = independently H, (un)substituted alkyl, etc.; X and Y = independently H, halo, OH, etc.; B1

= alkylene; p = 0-2; q = 0 or 1] or salts thereof were prepared for the treatment of diseases in which angiogenesis participates. For example, the compound II was prepared in a multi-step synthesis in good yield.

inhibited 97% angiogenesis at the concentration of 20  $\mu g/mL$  in cow. Some of

compds. I showed good anticancer activity in rat. Formulations containing  $\ensuremath{\mathsf{I}}$ 

RN 864458-27-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(4-chlorophenyl)sulfonyl]amino]-4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 864458-28-6 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-[(1-oxo-3-butenyl)amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - C - NH$$

$$Me$$

$$NH - C$$

$$NH$$

RN 864458-46-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2[(methoxyacetyl)amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ \text{MeO-CH}_2-\text{C-NH} & & & & \\ & & & & & \\ \text{Me} & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864458-47-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[(methoxyacetyl)amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{MeO-CH}_2-\text{C-NH} & & & & \\ & & & & \\ & & & & \\ \text{CH}_2 & & & \\ & & & \\ & & & \\ \text{F_3C-O} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 864458-48-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2[(phenoxyacetyl)amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 864458-49-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[[(acetyloxy)acetyl]amino]-4-pyridinyl]methyl]thio]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

10/587,410

RN 864458-50-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[3-[(methylsulfonyl)amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-51-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[3-(acetylamino)-4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (CA INDEX NAME)

RN 864458-52-6 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-(acetylamino)-4-pyridinyl]methyl]thio]-N-[4(acetyloxy)-3,5-dimethylphenyl]- (CA INDEX NAME)

RN 864458-54-8 CAPLUS

CN Pentanoic acid, 5-[[4-[[[3-[[(3,5-dimethylphenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]-5-oxo- (CA INDEX NAME)

RN 864458-55-9 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-(3,5-dioxo-4-morpholinyl)-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-56-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2[[(propylamino)carbonyl]amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-57-1 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[(1,1-dimethylethyl)amino]carbonyl]amino]4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (CA INDEX NAME)

RN 864458-58-2 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (CA INDEX NAME)

RN 864458-59-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2[[(methylamino)thioxomethyl]amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-60-6 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[(propylamino)thioxomethyl]amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-61-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(propylamino)thioxomethyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

10/587,410

RN 864458-62-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-(formylamino)-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-64-0 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-(phenylamino)-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-65-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-66-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 864458-67-3 CAPLUS CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-68-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]thio]- (CA INDEX NAME)

RN 864458-90-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(aminoacetyl)amino]-4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \circ \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | & \\ & | &$$

● HCl

Absolute stereochemistry.

● HCl

RN 864459-08-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[bis[(acetyloxy)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-09-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[bis[(acetyloxy)acetyl]amino]-4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 864459-10-9 CAPLUS
CN Carbonic acid, 2-[[4-[[[3-[[(3,5-dimethylphenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]-2-oxoethyl ethyl ester (CA INDEX NAME)

RN 864459-12-1 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-[[[(methylsulfonyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 864459-13-2 CAPLUS

CN Carbamic acid, diethyl-, 2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 864459-14-3 CAPLUS

CN Carbamic acid, dimethyl-, 2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 864459-15-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl ester (CA INDEX NAME)

$$\begin{array}{c} N \\ S-CH_2 \\ \hline \\ NH \\ \hline \\ F_3C-O \\ \end{array}$$

RN 864459-16-5 CAPLUS

CN Propanoic acid, 2-methyl-, 2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl ester (CA INDEX NAME)

RN 864459-17-6 CAPLUS

CN Pentanedioic acid, mono[2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl] ester (9CI) (CA INDEX NAME)

RN 864459-18-7 CAPLUS

CN Acetic acid, (acetyloxy)-, 2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl ester (9CI) (CA INDEX NAME)

RN 864459-19-8 CAPLUS

CN 3-Pyridinecarboxamide,

2-[[[2-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]-4pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-20-1 CAPLUS

CN Glycinamide, N,N-dimethylglycyl-N-[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

(CA INDEX NAME)

RN 864459-22-3 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-(dimethylamino)-4-pyridinyl]methyl]thio]-N [4-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)

RN 864459-34-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[(1-methylethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-35-8 CAPLUS
CN 4-Morpholineacetamide,
N-[4-[[[3-[[(3,5-dimethylphenyl)amino]carbonyl]-2pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c} N \\ S - CH_2 \\ \hline \\ NH \\ Me \\ \end{array}$$

RN 864459-36-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[[(dimethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-C-NH} \\ \text{Me} \\ \text{Me} \\ \text{NH-C} \\ \end{array}$$

864459-37-0 CAPLUS RN

CN 3-Pyridinecarboxamide, 2-[[[2-[[(dimethylamino)acetyl]amino]-4pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA\_INDEX NAME)

864459-38-1 CAPLUS RN

CN 4-Morpholineacetamide,

N-[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbo]]]nyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 864459-39-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(cyclopropylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX

NAME)

RN 864459-40-5 CAPLUS

CN 3-Pyridinecarboxamide,

N-[4-(1,1-dimethylethyl)phenyl]-2-[[[2-[[[methyl(1-

methyl-4-piperidinyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio](9CI)

(CA INDEX NAME)

RN 864459-41-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[[[2-[[[2-(methylthio)ethyl]amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI)
(CA INDEX NAME)

RN 864459-42-7 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[[2-(dimethylamino)ethyl]amino]acetyl]amin
o]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA
INDEX NAME)

$$Me_2N-CH_2-CH_2-NH-CH_2-C-NH$$
 $CH_2$ 
 $F_3C-O$ 
 $NH-C$ 
 $NH-C$ 

RN 864459-43-8 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[[2-(4-morpholinyl)ethyl]amino]acetyl]amin
o]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA
INDEX NAME)

RN 864459-44-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[methyl(1-methyl-4-piperidinyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-47-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[(tetrahydro-2H-pyran-4-yl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-48-3 CAPLUS

CN 3-Pyridinecarboxamide,

2-[[[2-[[(4-hydroxy-1-piperidinyl)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/587,410.

Relative stereochemistry.

RN 864459-50-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]ethyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & N \\
 & C - OEt
\end{array}$$

$$\begin{array}{c|c}
 & N \\
 & C - OEt
\end{array}$$

$$\begin{array}{c|c}
 & C - OET
\end{array}$$

$$\begin{array}{c|c}
 & N \\
 & C - OET
\end{array}$$

$$\begin{array}{c|c}
 & C - OET
\end{array}$$

$$\begin{array}{c|c}
 & O \\
 & C - OET
\end{array}$$

RN 864459-51-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(diethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ Et_2N-CH_2-C-NH & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 864459-52-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(1-pyrrolidinylacetyl)amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-53-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2[[(dimethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA
INDEX
NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\
 & \parallel \\
 & Me_2N-CH_2-C-NH \\
 & & N \\
 & & CH_2 \\
 & & S \\
 & & NH-C \\
 & & N \\
 & N$$

RN 864459-54-1 CAPLUS
CN 4-Morpholineacetamide, N-[4-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 864459-55-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[[2-(dimethylamino)ethyl]amino]acetyl]amino]-4-pyridinyl]methyl]thio](9CI)
(CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-C-NH} \\ \text{CH}_2 \\ \text{Cl} \\ \text{NH-C} \\ \end{array}$$

RN 864459-56-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[[(2-pyridinylmethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c} N \\ S - CH_2 \\ \hline \\ NH \\ C - CH_2 - NH - CH_2 \\ \hline \\ NH \\ \hline \\ C1 \\ \end{array}$$

RN 864459-57-4 CAPLUS

CN Pyridinium, 1-[2-[[4-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]amino]-2-oxoethyl]-, bromide (9CI)

(CA INDEX NAME)

• Br-

RN 864459-58-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[(aminoacetyl)amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-59-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(methylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-60-9 CAPLUS

CN 3-Pyridinecarboxamide,

2-[[[2-[[[[2-(dimethylamino)ethyl]methylamino]acety 1]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-61-0 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[2-(diethylamino)ethyl]ethylamino]acetyl]
 amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI)
(CA
 INDEX NAME)

RN 864459-63-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[(2-hydroxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-65-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[(2-ethoxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

EtO-CH<sub>2</sub>-CH<sub>2</sub>-NH-CH<sub>2</sub>-C-NH
$$F_3C-O$$

$$NH-C$$

$$NH-C$$

RN 864459-71-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2-[(dimethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Me}_2\text{N-CH}_2\text{-C-NH} & & & \\ & & & \\ \text{CH}_2 & & \\ \text{F}_2\text{CH-O} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

## HCl

Acnh
$$-$$
 Ch $_2-$  Ch $_2-$  Nh $-$  Ch $_2-$  Ch $_2-$  Nh $-$  Ch $_2-$  Sh $-$  Nh $-$  Ch $-$ 

RN 864459-74-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[[(2-hydroxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2 - \text{CH}_2 - \text{NH} - \text{CH}_2 - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{CH}_2 - \text{C} - \text{NH} - \text{C} - \text{NH} - \text{C} - \text{NH} \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 \\ \text{C} - \text{NH}_2 - \text{C} - \text{NH}_2 -$$

RN 864459-76-7 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[[(3-hydroxypropyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 864459-78-9 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[[(2-hydroxyethyl)methylamino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 864459-79-0 CAPLUS

CN 1-Piperazineacetamide, N-[4-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

Me<sub>2</sub>N- (CH<sub>2</sub>)<sub>3</sub>-NH-CH<sub>2</sub>-C-NH N CH<sub>2</sub>

$$CH_{2}$$

$$NH-C$$

RN 864459-84-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[[(dimethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-(3-methylphenyl)-, monohydrochloride (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ \text{Me}_2\text{N}-\text{CH}_2-\text{C}-\text{NH} & & & & \\ & & & & & \\ & & & & \\ \text{CH}_2 & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

## ● HCl

RN 864459-85-8 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[[2-(dimethylamino)ethyl]amino]acetyl]amin
o]-4-pyridinyl]methyl]thio]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-C-NH} \\ \text{Me} \\ \text{Me} \\ \text{NH-C} \end{array}$$

RN 864459-86-9 CAPLUS

CN 1-Piperazineacetamide, N-[4-[[[3-[[(3-methylphenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & O & NH \\ \hline C & O & NH \\ \hline C & O & NH \\ \hline Me & & \end{array}$$

RN 864459-87-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[(2-hydroxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

HO-CH<sub>2</sub>-CH<sub>2</sub>-NH-CH<sub>2</sub>-C-NH
N
CH<sub>2</sub>

$$\sim$$
NH-C
N

RN 864459-89-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2-[[[2-(dimethylamino)ethyl]amino]acetyl]amino]-4-pyridinyl]methyl]thio]-(9CI)

(CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-C-NH} & \text{N} \\ \hline & \text{CH}_2 \\ \hline & \text{F}_2\text{CH-O} & \text{O} \\ \hline & \text{NH-C} & \text{N} \end{array}$$

RN 864459-91-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2-[[[(2-hydroxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

HO-CH<sub>2</sub>-CH<sub>2</sub>-NH-CH<sub>2</sub>-C-NH
$$F_{2}CH-O$$

$$NH-C$$

$$NH-C$$

Acnh
$$-$$
 Ch $_2-$  Ch $_2-$  Nh $-$  Ch $_2-$  Ch $_2-$  Nh $-$  Ch $_2-$  Sh $-$  Nh $-$  C

RN 864459-95-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2-[[[2-

RN 864459-97-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(dimethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 864459-98-3 CAPLUS

CN 3-Pyridinecarboxamide,

2-[[[2-[[[[2-(dimethylamino)ethyl]amino]acetyl]amin
o]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 864460-00-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[[(2-hydroxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 864460-02-6 CAPLUS

CN 1-Piperazineacetamide,

N-[4-[[[3-[[[4-(trifluoromethyl)phenyl]amino]carbon yl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 864460-04-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(dimethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$Me_2N-CH_2-C-NH$$

$$CH_2$$

$$CH_2$$

$$S$$

$$NH-C$$

$$N$$

RN 864460-06-0 CAPLUS

CN 3-Pyridinecarboxamide,

2-[[[2-[[[[2-(dimethylamino)ethyl]amino]acetyl]amin
o]-4-pyridinyl]methyl]thio]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

HCl

RN 864460-10-6 CAPLUS

CN 1-Piperazineacetamide, 4-(2-hydroxyethyl)-N-[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

$$CH_2-CH_2-OH$$
 $CH_2-CH_2-OH$ 
 $CH_2-CH_2-OH$ 
 $CH_2-CH_2-OH$ 
 $CH_2-CH_2-OH$ 
 $CH_2-CH_2-OH$ 
 $CH_2-CH_2-OH$ 
 $CH_2-CH_2-OH$ 

RN 864460-13-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[2-[[[(2-hydroxyethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]-N-[3-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

HO-CH<sub>2</sub>-CH<sub>2</sub>-NH-CH<sub>2</sub>-C-NH
$$i-Pr$$

$$NH-C$$

$$NH-C$$

$$NH$$

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-C-NH} \\ \text{N} \\ \text{i-Pr} \\ \text{NH-C} \end{array}$$

RN 864460-17-3 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 864460-19-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[(2-propynylamino)acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C} \\ \text{$$

RN 864460-21-9 CAPLUS

CN 1-Piperazineacetamide, N-[4-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]-4-(2-hydroxyethyl)- (CA INDEX NAME)

RN 864460-22-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2-[[[(2-hydroxyethyl)methylamino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 864460-23-1 CAPLUS

CN 1-Piperazineacetamide,

N-[4-[[[3-[[[4-(difluoromethoxy)phenyl]amino]carbon yl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 864460-24-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(aminoacetyl)amino]-4-pyridinyl]methyl]thio]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\$$

RN 864460-25-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(acetylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 864460-28-6 CAPLUS

CN 1-Piperazineacetamide,

N-[4-[[[3-[[[4-(difluoromethoxy)phenyl]amino]carbon
yl]-2-pyridinyl]thio]methyl]-2-pyridinyl]-4-methyl- (CA INDEX NAME)

$$\begin{array}{c} N \\ C \\ C \\ O \\ NH \\ \end{array}$$

RN 864460-30-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(difluoromethoxy)phenyl]-2-[[[2-[[[(1-methylethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX

NAME)

$$i-PrNH-CH_2-C-NH$$

$$CH_2$$

$$F_2CH-O$$

$$NH-C$$

$$N$$

RN 864460-32-2 CAPLUS
CN 3-Pyridinecarboxamide,
2-[[[2-[[[[2-(dimethylamino)ethyl]amino]acetyl]amin
o]-4-pyridinyl]methyl]thio]-N-(3,5-dimethylphenyl)- (9CI) (CA INDEX
NAME)

RN 864460-34-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-[[[(1-methylethyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{i-PrNH-CH}_2\text{-C-NH} & \text{N} \\ \text{Me} & \text{CH}_2 \\ \text{Me} & \text{NH-C} & \text{N} \\ \text{Me} & \text{NH-C} & \text{N} \\ \end{array}$$

RN 864460-36-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(2-propynylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$HC = C - CH_2 - NH - CH_2 - C - NH$$

$$F_3C - O$$

$$NH - C$$

$$NH - C$$

RN 864460-38-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(2-methyl-1-aziridinyl)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 864460-40-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(ethylmethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864460-42-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(1-azetidinylacetyl)amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864460-46-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[[2-[[[2-(1-pyrrolidinyl)ethyl]amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & O & O \\ \hline N & S - CH_2 & NH - C - CH_2 - NH - CH_2 - CH_2 - N \\ \hline C = O & NH \\ \hline \\ C1 & \\ \end{array}$$

RN 864460-48-0 CAPLUS

CN 1(4H)-Pyridineacetamide, 4-oxo-N-[4-[[[3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 864460-50-4 CAPLUS

CN 1-Piperazineacetamide, N-[4-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]-4-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} N & O & N & Me \\ \hline & N & NH-C-CH_2-N & NH-C-C-CH_2-N & NH-C-C$$

RN 864460-52-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(1H-imidazol-1-ylacetyl)amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

## PAGE 1-A

## PAGE 2-A

RN 864460-54-8 CAPLUS

CN

3-Pyridinecarboxamide, 2-[[[2-[(1-azetidinylacetyl)amino]-4-pyridinyl]methyl]thio]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & N & & \\ \hline & N & & \\ & CH_2 & \\ & & NH-C & \\ \hline & O & \\ & & NH & \\ \hline & & \\ &$$

RN 864460-56-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-[[[(3-hydroxypropyl)amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

RN 864460-58-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[[2-[[[[2-(4-morpholinyl)ethyl]amino]acetyl]amino]-4-pyridinyl]methyl]thio]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c} N \\ S - CH_2 \\ \hline \\ C = O \\ NH \\ Me \\ Me \\ \end{array}$$

RN 864460-59-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(ethylamino)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 864460-61-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[[(cyclopropylmethoxy)acetyl]amino]-4-pyridinyl]methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{F}_{3}\text{C}-\text{O} \\ \\ \text{NH} \\ \\ \text{C} \\ \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{N} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{C} \\ \text{C} \\ \text{O} \\ \\ \text{C} \\ \text{N} \\ \\ \text{C} \\ \text{C} \\ \text{N} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{N} \\ \text{C} \\ \text{C} \\ \text{C} \\ \text{N} \\ \text{C} \\$$

RN 864460-63-9 CAPLUS

CN 2H-Isoindole-2-acetamide,

N-[4-[[[3-[[(3,5-dimethylphenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-2-pyridinyl]-1,3-dihydro-1,3-dioxo- (CA INDEX NAME)

RN 864460-65-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[[2-[(aminoacetyl)amino]-4-pyridinyl]methyl]thio]-N-[4-(difluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

TT 754221-24-4P 754221-25-5P 754221-41-5P 754222-08-7P 864460-77-5P 864460-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(intermediate; preparation of (pyridin-4-ylalkylthio)pyridine derivs. for

treatment of diseases in which angiogenesis participates)

RN 754221-24-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(3,5-dimethylphenyl)-2-[[(2-fluoro-4-pyridinyl)methyl]thio]- (CA INDEX NAME)

RN 754221-25-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-fluoro-4-pyridinyl)methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 754221-41-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-bromo-4-pyridinyl)methyl]thio]-N-(3,5-dimethylphenyl)- (CA INDEX NAME)

RN 754222-08-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-bromo-4-pyridinyl)methyl]thio]-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 864460-77-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-bromo-4-pyridinyl)methyl]thio]-N-(4-chlorophenyl)- (CA INDEX NAME)

RN 864460-78-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(2-bromo-4-pyridinyl)methyl]thio]-N-[4-(difluoromethoxy)phenyl]- (CA INDEX NAME)

L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

2004:756691 CAPLUS

DOCUMENT NUMBER:

141:260553

TITLE:

Preparation of compounds having 4-pyridylalkylthio

group as inhibitors of angiogenesis and vascular

permeability

INVENTOR(S):

Honda, Takahiro; Tajima, Hisashi; Sasabuchi,

Yoshimasa; Kawashima, Kenji; Okamoto, Kazuyoshi;

Yamamoto, Minoru; Ban, Masakazu

PATENT ASSIGNEE(S):

Santen Pharmaceutical Co. Ltd., Japan

SOURCE:

PCT Int. Appl., 350 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

P	PATENT NO.										APPLICATION NO.									
W	0 2004078723					A1 20040916														
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚŻ,	LC,		
									MA,											
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,		
			BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,		
			MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,		
			GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG									
J:	JP 2005232149					A 20050902					JP 2	004-	1095	20040305						
E	Ρ	1602647				A1 20051207			,	EP 2	004-	7178	20040305							
									FR,											
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK		
U:	US 2006194836						A1 20060831				US 2	005-	5482	20050901						
PRIORITY APPLN. INFO.:									JP 2003-62042						A 20030307					
											JP 2	004-	1160	2	j	A 20	0040	120		
											WO 2	004-	JP28:	12	Ţ	w 2	0040	305		

OTHER SOURCE(S):

MARPAT 141:260553

GI

AB Title compds. e.g. I (R1, R2 = H, alkyl, cycloalkyl, Ph, substituted Ph,

heteroaryl, etc.), useful as as inhibitors of angiogenesis and vascular permeability, are prepared Thus, stirring

2-(4-pyridylmethylthio)pyridine-3-

carboxylic acid with 4-chloroaniline in DMF in the presence of N,N-diisopropylethylamine and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-

tetramethyluronium hexafluorophosphate at room temperature for 3 h gave 91%

N-(4-chlorophenyl)-2-(4-pyridylmethylthio)pyridine-3-carboxamide (II).

ΙI

showed angiogenesis inhibitor activity at 20  $\mu g/mL$ . Formulations containing I were given.

TT 754219-12-0P 754220-17-2P 754221-52-8P 754222-94-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of compds. having 4-pyridylalkylthio group as inhibitors of

angiogenesis and vascular permeability)

RN 754219-12-0 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 754220-17-2 CAPLUS

CN 3-Pyridinecarboxamide,

N-(3,5-dimethylphenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

RN 754222-94-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[3-[[(3,5-dimethylphenyl)amino]carbonyl]-2-pyridinyl]thio]methyl]-N-propyl- (9CI) (CA INDEX NAME)

$$C1$$
 $CH_2-NH-C$ 
 $N$ 
 $CH_2$ 

RN 754219-19-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-(4-chlorophenyl)ethyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{CH}_2 \\ & & \\ \text{CH}_2 - \text{CH}_2 - \text{NH} - \text{C} \\ & & \\ &$$

RN 754219-20-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ \text{CH}_2 - \text{CH}_2 - \text{NH} - \text{C} \\ & & & \\ & & & \\ \end{array}$$

RN 754219-39-1 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[(2,6-dichloro-4-pyridinyl)methyl]thio]- (CA INDEX NAME)

RN 754219-40-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-chlorophenyl)-2-[[(2,6-dichloro-4-pyridinyl)methyl]thio]- (CA INDEX NAME)

RN 754219-41-5 CAPLUS

CN 3-Pyridinecarboxamide, N-phenyl-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-42-6 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-chlorophenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

RN 754219-43-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-(hydroxymethyl)phenyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-44-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-methoxyphenyl)-2-[(4-pyridinylmethyl)thio]-

## 10/587,410

(CA INDEX NAME)

RN 754219-45-9 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-ethoxyphenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

RN 754219-46-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(1-methylethyl)phenyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-47-1 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-methoxyphenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

RN 754219-48-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-fluorophenyl)-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-49-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-chlorophenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

RN 754219-50-6 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-bromophenyl)-2-[(4-pyridinylmethyl)thio]-(CA

INDEX NAME)

RN 754219-51-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(hydroxymethyl)phenyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-52-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(1-methylethoxy)phenyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-53-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)thio]-N-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

## 10/587,410

RN 754219-54-0 CAPLUS
CN Benzoic acid,
3-[[[2-[(4-pyridinylmethyl)thio]-3-pyridinyl]carbonyl]amino] , ethyl ester (CA INDEX NAME)

RN 754219-55-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-fluorophenyl)-2-[(4-pyridinylmethyl)thio](CA INDEX NAME)

RN 754219-56-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-bromophenyl)-2-[(4-pyridinylmethyl)thio](CA INDEX NAME)

RN 754219-57-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-iodophenyl)-2-[(4-pyridinylmethyl)thio]-

(CA

INDEX NAME)

RN 754219-58-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-methylphenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

RN 754219-59-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)thio]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 754219-60-8 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-propylphenyl)-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

10/587,410

RN 754219-62-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)thio]- (CA INDEX NAME)

RN 754219-63-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-octylphenyl)-2-[(4-pyridinylmethyl)thio](CA INDEX NAME)

Me- (CH<sub>2</sub>) 7 
$$\sim$$
 NH- C

RN 754219-64-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-methoxyphenyl)-2-[(4-pyridinylmethyl)thio]-(CA:INDEX NAME)

RN 754219-65-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)thio]-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

754219-66-4 CAPLUS RN

CN 3-Pyridinecarboxamide, N-[4-(1-methylethoxy)phenyl]-2-[(4pyridinylmethyl)thio]- (CA INDEX NAME)

RN754219-67-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-butoxyphenyl)-2-[(4-pyridinylmethyl)thio]-(CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1999:487274 CAPLUS

DOCUMENT NUMBER:

131:116520

TITLE:

Preparation of phenylalanine derivatives as

pharmaceutical agents

INVENTOR(S):

Head, John Clifford; Archibald, Sarah Catherine;

Warrellow, Graham John; Porter, John Robert

PATENT ASSIGNEE(S):

Celltech Therapeutics Limited, UK

SOURCE:

PCT Int. Appl., 65 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

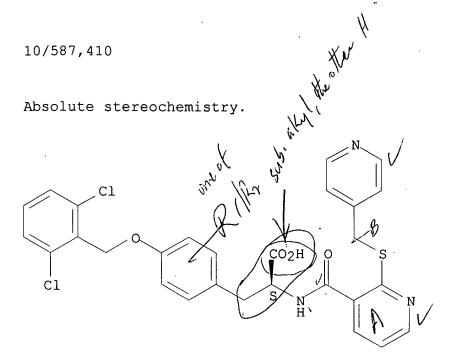
English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	KIN	D	DATE		APPLICATION NO.						DATE					
WO 9937618				A1		19990729			WO 1	999-	GB27		19990127			
W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,
	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,
	ТJ,	TM														
RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,
	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,

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CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
          US 6329372
                                                     В1
                                                                 20011211
                                                                                         US 1999-237060
                                                                                                                                        19990126
          AU 9924320
                                                    Α
                                                                 19990809
                                                                                         AU 1999-24320
                                                                                                                                        19990127
          EP 1051399
                                                                 20001115
                                                                                         EP 1999-903798
                                                    Α1
                                                                                                                                        19990127
                          AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                          IE, FI
          JP 2002501051
                                                    Т
                                                                 20020115
                                                                                         JP 2000-528542
                                                                                                                                        19990127
          US 2002035127
                                                    A1
                                                                20020321
                                                                                         US 2001-964161
                                                                                                                                        20010926
PRIORITY APPLN. INFO.:
                                                                                         GB 1998-1674
                                                                                                                                 A 19980127
                                                                                         GB 1998-26669
                                                                                                                                        19981203
                                                                                                                                 Α
                                                                                         US 1999-237060
                                                                                                                                 A1 19990126
                                                                                         WO 1999-GB279
                                                                                                                                        19990127
OTHER SOURCE(S):
                                                  MARPAT 131:116520
ΑB
          Phenylalanine derivs. 4-[R1(Alk1)rL1s]C6H2RaRb(Alk2)mCHRR2NR3COHet [R
is a
          carboxylic acid or derivative; R1 = H, OH, alkoxy or optionally
substituted
          cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph.,
          arom, or heteroarom. group; Alk1 = optionally substituted aliphatic or
          heteroaliph. chain; L1 is a linker atom or group; r, s = 0, 1; Ra, Rb =
          -L2 (CH2) pL3Rcq, where L2, L3 = a covalent bond or linker atom or
group; p
          = 0, 1; q = 1-3; Rc = H, halo, alkyl, OH, alkoxy, etc.; Alk2 = 1.5 Alk2 = 1
alkylene; m
          = 0, 1; R2 = H, Me; R3 = H, alkyl; Het is an optionally substituted
          heteroarom. group] and their salts, solvates, hydrates and N-oxides
were
          prepared as pharmaceutical agents. Thus,
N-(2-chloronicotinoyl)-N'-(3,5-
          dichloro-4-picolyl)-L-4-aminophenylalanine was prepared by coupling
reaction
          of N-(3,5-dichloro-4-picolyl)-L-4-aminophenylalanine Me ester with
          2-chloronicotinoyl chloride followed by ester hydrolysis.
compds.
          were tested for inhibition of integrin-dependent cell adhesion and
          generally have IC50 values in the \alpha 4\beta 1 and \alpha 4\beta 7
          assays of 1µM and below.
ΙT
          232617-63-9P
          RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
          study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use);
          BIOL (Biological study); PREP (Preparation); USES (Uses)
                 (preparation of phenylalanine derivs. as pharmaceutical agents)
RN
          232617-63-9 CAPLUS
CN
          L-Tyrosine,
O-[(2,6-dichlorophenyl)methyl]-N-[[2-[(4-pyridinylmethyl)thio]-
          3-pyridinyl]carbonyl]- (CA INDEX NAME)
```



ΙT 232617-29-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of phenylalanine derivs. as pharmaceutical agents)

RN 232617-29-7 CAPLUS

CN L-Tyrosine,

O-[(2,6-dichlorophenyl)methyl]-N-[[2-[(4-pyridinylmethyl)thio]-3-pyridinyl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

ICM C07D213-82 IC

> C07D213-81; C07D207-40; C07D209-42; C07D241-24; C07D277-56; ICS C07D231-14; C07D215-50; C07D401-12; C07D409-12; A61K031-44

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

(prepn. of phenylalanine derivs. as pharmaceutical agents)

10/587,410

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 18.87 377.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION -2.40 -2.40

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